

Application No. 10/824,321  
 Amendment dated January 23, 2006  
 Reply to Office Action of October 21, 2005

Docket No.: 56369(70157)

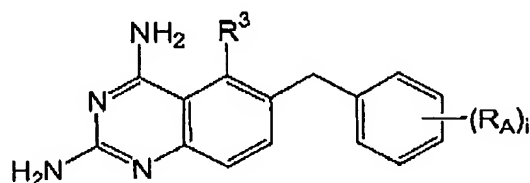
### AMENDMENTS TO THE CLAIMS

This listing of claims will replace all prior versions, and listings, of claims in the application:

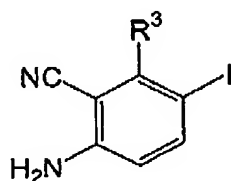
Listing of claims:

1-37. (Cancelled).

38. (Currently Amended) A method of forming a compound according to Formula I:



the method comprising the steps of  
 contacting an aryl halide of the formula:



with at least one molar equivalent of an organozinc reagent,  $RZnY$ , and at least a catalytic amount of a palladium catalyst ~~under conditions conducive to the formation of an~~ to form a C-C bond by a palladium mediated cross-coupling reaction; and

contacting the product of the cross-coupling reaction with chloroformamidine under dry-fusion conditions conducive to formation of to form a compound according to Formula I, wherein

R is a benzyl residue of the formula  $-CH_2C_6H_5-i(R_A)_i$

$R_A$  is independently selected at each occurrence of  $R_A$  from the group consisting of hydrogen,  $C_{1-6}$ alkyl,  $C_{2-6}$ alkenyl,  $C_{2-6}$ alkynyl,  $C_{3-8}$ cycloalkyl,  $C_{1-6}$ alkoxy, chloro, fluoro,

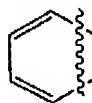
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C<sub>1-4</sub>fluoroalkyl, amino, mono and di(C<sub>1-6</sub>alkyl)amino, nitrile, optionally substituted aryloxy, optionally substituted heteroaryloxy, C<sub>1-6</sub>alkylthio, optionally substituted arylthio, optionally substituted heteroarylthio, optionally substituted aryl acetoxy or optionally substituted heteroaryl acetoxy; or

or

two adjacent R<sub>A</sub> groups taken in combination form a group of the formula:



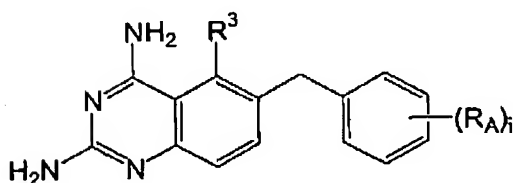
which may be optionally substituted;

R<sup>3</sup> is hydrogen, C<sub>1-6</sub>alkyl, C<sub>2-6</sub>alkenyl, C<sub>2-6</sub>alkynyl, chloro, fluoro, C<sub>1-6</sub>fluoroalkyl, or C<sub>1-6</sub>alkoxy; and

i is 0, 1, 2, or 3;

Y is Cl, Br, I, or triflate.

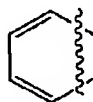
39. (Currently Amended) A compound according to Formula I:



wherein:

R<sub>A</sub> is independently selected at each occurrence of R<sub>A</sub> from the group consisting of hydrogen, C<sub>1-6</sub>alkyl, C<sub>2-6</sub>alkenyl, C<sub>2-6</sub>alkynyl, C<sub>3-8</sub>cycloalkyl, C<sub>1-6</sub>alkoxy, chloro, fluoro, C<sub>1-4</sub>fluoroalkyl, amino, mono and di(C<sub>1-6</sub>alkyl)amino, nitrile, optionally substituted aryloxy, optionally substituted heteroaryloxy, C<sub>1-6</sub>alkylthio, optionally substituted arylthio, optionally substituted heteroarylthio, optionally substituted aryl acetoxy or optionally substituted heteroaryl acetoxy; or

two adjacent R<sub>A</sub> groups taken in combination form a group of the formula:



which may be optionally substituted;

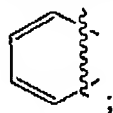
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$R^3$  is hydrogen, ~~C<sub>1-6</sub>alkyl, C<sub>2-6</sub>alkenyl, C<sub>2-6</sub>alkynyl, chloro, fluoro, C<sub>1-6</sub>fluoroalkyl, C<sub>1-6</sub>alkoxy, C<sub>1-6</sub>alkylthio, optionally substituted arylthio, or optionally substituted arylalkylthio;~~ and  
 $i$  is an integer from 0 to about 5.

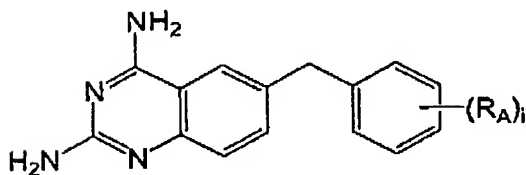
40. (Original) A compound according to claim 39, wherein the compound is a lipophilic inhibitor of dihydrofolate reductase.

41. (Currently Amended) A compound of claim 39 wherein  $R_A$  is independently selected at each occurrence of  $R_A$  from the group consisting of hydrogen, chloro, fluoro, C<sub>1-4</sub>alkyl, C<sub>1-4</sub>alkoxy, and C<sub>1-2</sub>fluoroalkyl; or two adjacent  $R_A$  groups taken in combination form a group of the formula:



$R^3$  is hydrogen, methyl, chloro or fluoro; and  
 $i$  is an integer from 0 to about 3.

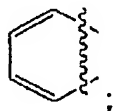
42. (Original) A compound of claim 39 according to Formula I-A:



I-A

wherein

$R_A$  is independently selected at each occurrence from the group consisting of hydrogen, fluoro, chloro, methoxy, methyl, and trifluoromethyl; or two adjacent  $R_A$  groups taken in combination form a group of the formula:



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i is an integer from 0 to about 3.

43. (Original) A pharmaceutical composition comprising a compound of any one of claims 39 through 42 and a pharmaceutically acceptable carrier.

44. (Currently Amended) A method for treating a mammal suffering from or susceptible to a *Pneumocystis carinii* parasitic infection or disorder, comprising administering to the mammal an effective amount of a compound or pharmaceutical composition of any one of claims 39 through 43 claim 43.

45. (Original) A method of claim 44 wherein the mammal is immunocompromised.

46. (Currently Amended) The method of claim 44 ~~or claim 45~~, wherein the mammal is HIV-positive.

47. (Currently Amended) The method of ~~any one of claims 44 through 46~~ claim 44, wherein the mammal is suffering from an acquired immune deficiency disorder.

48. (Original) The method of claim 44, wherein the mammal is suffering from an autoimmune disorder or disease.

49. (Currently Amended) The method of ~~any one of claims 44 through 48~~ claim 44, wherein the mammal has a parasitic infection.

50-54. (Cancelled).

55. (Currently Amended) The method of ~~any one of claims 44 through 54~~ claim 44, wherein the mammal is a human.